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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Welcome to STN International
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Web Page URLs for STN Seminar Schedule - N. America
NEWS
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NEWS 2 "Ask CAS" for self-help around the clock

3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks NEWS (ROSPATENT) added to list of core patent offices covered

NEWS FEB 28 PATDPAFULL - New display fields provide for legal status data from INPADOC

5 FEB 28 BABS - Current-awareness alerts (SDIs) available NEWS

NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded

NEWS 7 MAR 02 GBFULL: New full-text patent database on STN
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded

NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY NEWS 12 MAR 22 PATDPASPC - New patent database available

NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags

NEWS 14 APR 04 EPFULL enhanced with additional patent information and new fields

NEWS 15 APR 04 EMBASE - Database reloaded and enhanced

NEWS 16 APR 18 New CAS Information Use Policies available online

JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT NEWS EXPRESS MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* \* \* \* \* \* \* \* \* \* STN Columbus

FILE 'HOME' ENTERED AT 14:15:27 ON 22 APR 2005

=> file reg COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION 0.21 0.21

## FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:15:41 ON 22 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 APR 2005 HIGHEST RN 848979-49-7 DICTIONARY FILE UPDATES: 21 APR 2005 HIGHEST RN 848979-49-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*\*

\* The CA roles and document type information have been removed from \* the IDE default display format and the ED field has been added, \* effective March 20, 2005. A new display format, IDERL, is now \* available and contains the CA role and document type information. \*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\Oxford tricyclic.str

chain nodes : 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 ring nodes : chain bonds : 11-16 12-25 13-15 15-40 17-18 19-20 21-22 23-24 25-26 26-27 27-28 27-29  $1-2^{5}$  1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-1313-14 40-41 40-45 41-42 42-43 43-44 44-45 exact/norm bonds : 2-7 7-8 9-10 9-11 10-14 11-12 11-16 12-13 12-25 13-14 13-15 15-40 17-18 19-20 21-22 23-24 25-26 26-27 27-28 27-29 exact bonds : 3-10 8-9 normalized bonds:  $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 40-41 \quad 40-45 \quad 41-42 \quad 42-43 \quad 43-44 \quad 44-45$ isolated ring systems : containing 1 : 40 :

G1:[\*1],[\*2],[\*3],[\*4]

G2:C,O

## Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom

## L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

G1 [@1],[@2],[@3],[@4] G2 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample

SAMPLE SEARCH INITIATED 14:16:27 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

0 ANSWERS 100.0% PROCESSED 0 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* \*\*COMPLETE\*\* BATCH PROJECTED ITERATIONS: 0 TO 0

O TO PROJECTED ANSWERS:

0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 14:16:40 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 7 ANSWERS

SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 161.76 161.97

FILE 'CAPLUS' ENTERED AT 14:16:51 ON 22 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 22 Apr 2005 VOL 142 ISS 18 FILE LAST UPDATED: 21 Apr 2005 (20050421/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

1 L3 L4

=> d l4 l- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:707163 CAPLUS

DOCUMENT NUMBER:

133:266869

TITLE:

Preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-

ones as phosphodiesterase inhibitors. Oxford, Alexander William; Jack, David

PATENT ASSIGNEE(S):

Vanguard Medica Ltd., UK

PCT Int. Appl., 77 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE		i	APPLICATION NO.					DATE					
WO 2000058308			A1	A1 20001005			ī	WO 2000-GB1193					20000329				
W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	
	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	
	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	
	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	
	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
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	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
NZ 514158			Α		2000	0329	1	NZ 2000-514158					20000329				
CA 2368413			AA		20001005 CA 2000-2368413						413	20000329					
AU 2000041274			<b>A</b> 5		20001016				AU 2000-41274					20000329			
AU 773504			B2		2004	0527											
EP 1165558			A1		2002	0102		EP 2000-920857					20000329				

EP	1165	558			В1	2003	0924										
	R:	AT,	BE,	CH,	DE,	DK, ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI, RO											
BR	2000	00944	16		Α	2002	20020115 BR 2000-9446							20000329			
JP	2002	54020	07		Т2	2002	1126	J	JP	2000-	6080	10			20000	329	
AT	2506	02			E	2003	1015	I	AΤ	2000-	9208	57		:	20000	329	
PΤ	1165	558			T	2004	0227	E	PT	2000-	9208	57		:	20000	329	
ES	2208	310			Т3	2004	0616	E	ΞS	2000-	9208	57		:	20000	329	
US	2003036542				A1	2003	0220	τ	JS	2001-	9642	60		:	20010	926	
US	6794	391			В2	2004	0921										
NO	2001	00472	28		Α	2001	.1123	N	10	2001-	4728			:	20010	928	
US	2004	17182	28		A1	2004	10902	Ţ	JS	2004-	7866	50		:	20040	224	
US	2004	1763	53		A1	2004	10909	τ	JS	2004-	78,64	00		:	20040	224	
PRIORITY APPLN. INFO.:								(	GΒ	1999-	7454		i	A :	19990	331	
								(	GΒ	1999-	9802		i	A.	19990	428	
								V	O	2000-	GB11	93	1	<b>W</b> :	20000	329	
								τ	JS	2001-	9642	60	1	A3 :	20010	926	

OTHER SOURCE(S):

MARPAT 133:266869

GΙ

HCl

$$R^{10}$$
 $R^{20}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 

Title compds. [I; R1, R2 = alkyl, acyl; R5 = H, alkyl, alkenyl, alkynyl; R6 = H, alkyl, alkenyl, alkynyl, amino, alkylamino, dialkylamino, acylamino; R7, R8 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; R9 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; X = OCH2, CR3R4; R3, R4 = H, alkyl; R10, R11 = H, alkyl, cycloalkyl, Ph; Y = O, CHNO2, NCN, NH, NNO2; n = 2-4], were prepared I have a longer duration of action than the known compound trequinsin (9,10-dimethoxy-3-methyl-2-mesitylimino-2,3,6,7-tetrahydro-4H--pyrimido[6,1-a]isoquinolin-4-one) and do not have trequinsin's very bitter taste. Thus, Na cyanate was added dropwise to 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one (preparation given) in aqueous

Ι

at 80° followed by stirring for 2 h to give 54% 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(N-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one(II). II inhibited PDE3 with IC50 = 0.46  $\mu M$  and was tasteless.

IT 298680-27-0P 298680-28-1P 298680-29-2P 298680-31-6P 298680-32-7P 298680-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

CN

phosphodiesterase inhibitors)

RN 298680-27-0 CAPLUS

4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-(methylamino)-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

RN 298680-28-1 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-[(1-methylethyl)amino]-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

Me Me NHPr-i 
$$CH_2-CH_2-NH-C=CH-NO_2$$
 MeO MeO

RN 298680-29-2 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 3-[2-[[1-(dimethylamino)-2-nitroethenyl]amino]ethyl]-2,3,6,7-tetrahydro-9,10-dimethoxy-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

RN 298680-31-6 CAPLUS
CN Guanidine, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl](9CI) (CA INDEX NAME)

Me Me Me NH NH NH 
$$\sim$$
 CH2- CH2- NH- C- NH2 MeO MeO

RN 298680-32-7 CAPLUS
CN Guanidine, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-nitro- (9CI) (CA INDEX NAME)

Me Me NH NH NH 
$$\parallel$$
 CH2-CH2-NH-C-NH-NO2 MeO MeO

RN 298680-37-2 CAPLUS

CN Guanidine, N-cyano-N'-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N''-methyl- (9CI) (CA INDEX NAME)

Me Me NHMe NHMe 
$$CH_2-CH_2-N=C-NH-CN$$
 MeO  $N$ 

## IT 298680-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-40-7 CAPLUS

CN Carbamic acid, [[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Me 
$$Me$$
 $Me$ 
 $M$ 

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 14:15:27 ON 22 APR 2005)

1

FILE 'REGISTRY' ENTERED AT 14:15:41 ON 22 APR 2005

L1 STRUCTURE UPLOADED

L2 0 S L1 SAMPLE

L3 7 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:16:51 ON 22 APR 2005

L4 1 S L3

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SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 5.39 167.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE

CE FILE TOTAL ENTRY SESSION

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